STIC Search Report
Biotech-Chem Library

STIC Database Tracking Number: 93716

TO: Robert (Rei-Tsang Shiao
Location: 3d08 / 3d19
Tuesday, May 27, 2003
Au: 1626
Serial Number: 10 / 035783

From: Jan Delaval
Location: Biotech-Chem Library
CM1-1E07
Phone: 308-4498

jan.delaval@uspto.gov

Search Notes

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 -- 703-308-4498
jan.delaval@uspto.gov

STIC SEARCH RESULTS

Biotech-Chem Library

Questions about the scope or the results of the search? Contact ***the searcher or contact:***

Mary Hale, Information Branch Supervisor
308-4258, CM1-1E01

Voluntary Results Feedback Form

- *I am an examiner in Workgroup:* ☐ *Example: 1610*
- *Relevant prior art **found**, search results used as follows:*
  - ☐ 102 rejection
  - ☐ 103 rejection
  - ☐ Cited as being of interest.
  - ☐ Helped examiner better understand the invention.
  - ☐ Helped examiner better understand the state of the art in their technology.

  Types of relevant prior art found:
  - ☐ Foreign Patent(s)
  - ☐ Non-Patent Literature
    (journal articles, conference proceedings, new product announcements etc.)
- *Relevant prior art **not found:***
  - ☐ Results verified the lack of relevant prior art (helped determine patentability).
  - ☐ Results were not useful in determining patentability or understanding the invention.

Comments:

Drop off or send completed forms to STIC/Biotech-Chem Library CM1 – Circ. Desk

ask Jan Delaval on Biostech

Access DB# 93717

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: _____ Examiner # : _____ Date: _____

Art Unit: _____ Phone Number 30 _____ Serial Number: 10035783

Mail Box and Bldg/Room Location: _____ Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

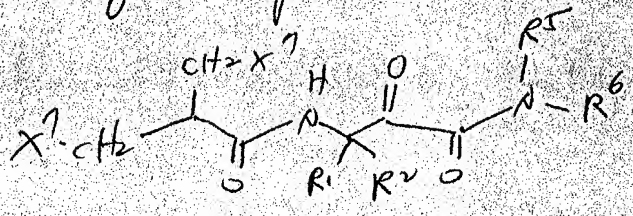
Title of Invention: _____

Inventors (please provide full names): _____

Earliest Priority Filing Date: _____

***For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.**

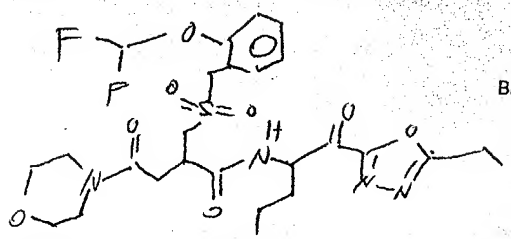
IV search for cpd IV



R_1, R_2, R_3, R_6, X1 see sub.

V method for use of cpd I – cpd IV

VI search cpd VI



Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

****

STAFF USE ONLY

Searcher:		**Type of Search**	**Vendors and cost where applicable**
Searcher Phone #:	4498	NA Sequence (#)	STN
Searcher Location:		AA Sequence (#) cpd	Dialog
Date Searcher Picked Up:	5/27/03	Structure (#) V	Questel/Orbit
Date Completed:	5/27/03	Bibliographic	Dr.Link
Searcher Prep & Review Time:		Litigation	Lexis/Nexis
Clerical Prep Time:	15	Fulltext	Sequence Systems
Online Time:	+ 30	Patent Family	WWW/Internet
		Other	Other (specify)

PTO-1590 (8-01)

ask Jan Delaval for search

Access DB# 93916

SEARCH REQUEST FORM

Scientific and Technical Information Center

Requester's Full Name: Robert (Reiby) Shiao Examiner #: 79521 Date: 5/9/03
Art Unit: 1626 Phone Number 308-4002 Serial Number: 10035783
Mail Box and Bldg/Room Location: 3D08/3D19 Results Format Preferred (circle): PAPER DISK E-MAIL

If more than one search is submitted, please prioritize searches in order of need.

****

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

Title of Invention: Novel compound and composition as Cathepsin inhibitor

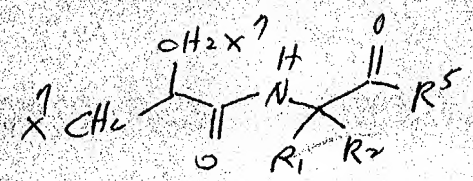
Inventors (please provide full names): Graupe et al

Earliest Priority Filing Date:

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*

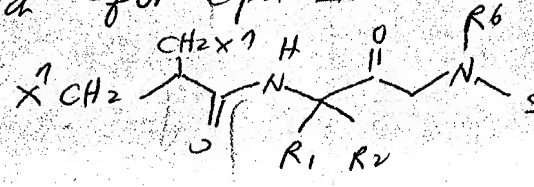
Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

I. Search cpd I



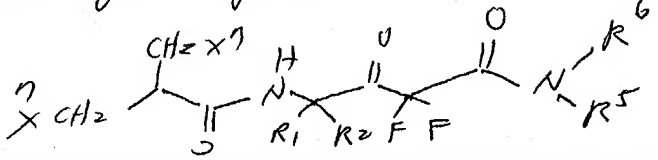
R_1, R_2, R_5 are sub.

II Search for cpd II



R_1, R_2, R^5, R^6, x^7 are sub.

III Search for cpd III



R_1, R_2, R^6, x^7 are sub.

****

STAFF USE ONLY

Searcher: Jan
Searcher Phone #: 4498
Searcher Location:
Date Searcher Picked Up: 5/27/03
Date Completed: 5/27/03
Searcher Prep & Review Time:
Clerical Prep Time: 15
Online Time: 130

Type of Search

NA Sequence (#)
AA Sequence (#)
Structure (#) ✓
Bibliographic
Litigation
Fulltext
Patent Family
Other

Vendors and cost where applicable

STN
Dialog
Questel/Orbit
Dr.Link
Lexis/Nexis
Sequence Systems
WWW/Internet
Other (specify)

PTO-1590 (8-01)

```
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FILE 'REGISTRY' ENTERED AT 15:41:06 ON 27 MAY 2003
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STRUCTURE FILE UPDATES:   26 MAY 2003  HIGHEST RN 520505-31-1
DICTIONARY FILE UPDATES:  26 MAY 2003  HIGHEST RN 520505-31-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d ide can l7

L7   ANSWER 1 OF 1  REGISTRY  COPYRIGHT 2003 ACS
RN   440127-27-5  REGISTRY
CN   4-Morpholinebutanamide, .alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfo
     nyl]methyl]-N-[1-[(5-ethyl-1,3,4-oxadiazol-2-yl)carbonyl]butyl]-.gamma.-
     oxo- (9CI)  (CA INDEX NAME)
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SR   CA
LC   STN Files:   CA, CAPLUS
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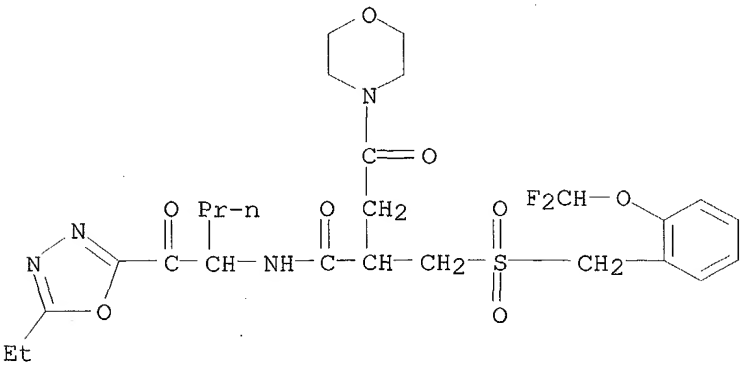

Jan Delaval
Reference Librarian
Biotechnology & Chemical Library
CM1 1E07 – 703-308-4498
jan.delaval@uspto.gov

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**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

             1 REFERENCES IN FILE CA (1957 TO DATE)
             1 REFERENCES IN FILE CAPLUS (1957 TO DATE)

REFERENCE   1:  137:78943


=> fil hcaplus
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FILE 'HCAPLUS' ENTERED AT 15:41:17 ON 27 MAY 2003
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FILE COVERS 1907 - 27 May 2003 VOL 138 ISS 22
FILE LAST UPDATED: 26 May 2003 (20030526/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l7
L14 1 L7

=> d bib abs retable hitstr

L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2003 ACS
AN 2002:504904 HCAPLUS
DN 137:78943
TI Preparation of N-[1-(benzoxazolylcarbonyl)alkyl]- and N-[1-(oxadiazolylcarbonyl)alkyl]alkanamides and related compounds as selective cathepsin S inhibitors
IN Halley, Frank; Graupe, Michael; Patterson, John; Pickett, Stephen D.; Link, John; Li, Jiayao; Aldous, David; Thurairatnam, Sukanthini; Timm, Andreas; Lai, Justine
PA Celera, An Applera Corporation Business, USA
SO PCT Int. Appl., 724 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002051983	A2	20020704	WO 2001-US50680	20011224

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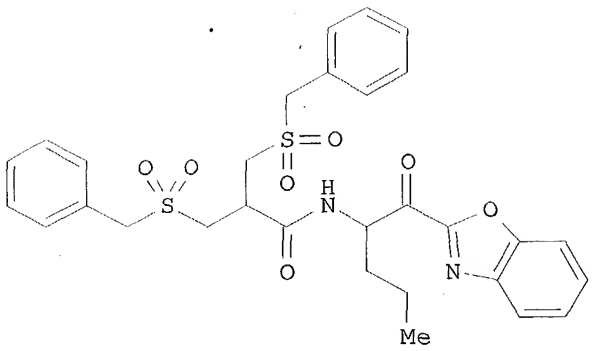
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-257603P P 20001222
OS MARPAT 137:78943
GI



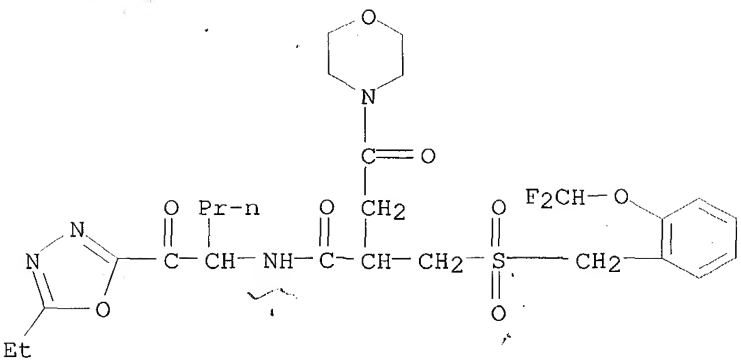
II

AB Title compds. of the formula R3R4CHCONHX1 [I; wherein X1 = CR1R2X2 or X3; X2 = CN, CHO, or (un)substituted (cyclo)alkyl, (hetero)arylalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, sulfamoylalkyl, etc.; X3 = substituted (thi)oxopyrrolidinyl, (thi)oxopiperidinyl, (thi)oxotetrahydro(thio)pyranyl, (thi)oxotetrahydrofuranyl, (thi)oxotetrahydrothiophenyl, etc.; R1 and R2 are both F; or R1 = H or alkyl and R2 = H, alkyl, CN, or (un)substituted amino(alkyl), carbamoyl(alkyl), carboxyamino(alkyl), acyl(alkyl), carboxy(alkyl), sulfamoyl(alkyl), phosphono(alkyl), etc.; or CR1R2 = (un)substituted (hetero)cycloalkyl; R3 and R4 = independently CR16R17X7; R16 and R17 = independently H, alkyl, or F; or R16 = H and R17 = OH; X7 = (un)substituted amino(alkyl), carbamoyl(alkyl), carboxyamino(alkyl), acyl(alkyl), carboxy(alkyl), sulfamoyl(alkyl), etc.; and N-oxides, prodrugs, protected derivs., isomers, pharmaceutically acceptable salts, and solvates thereof] were prepd. for treatment of cathepsin S mediated diseases. For example, reaction of 3-benzylsulfanyl-2-benzylsulfanylmethylpropionic acid (prepn. given) with 2(S)-amino-1-(benzoxazol-2-yl)-1-pentanol in the presence of HOBt.bul.H2O and EDC in CH2Cl2 afforded the amide. Oxidn. of the sulfide groups using Oxone (41%), followed by treatment with Dess-Martin periodinane (74%), gave the title (S)-N-[1-(benzoxazolylmethanoyl)butyl]propanamide (S)-II. I inhibited human cathepsin S protease activity (Ki = 0.1 .mu.M to 0.1 nM) at concns. that were at least 50-fold less than those required to produce an equiv. inhibition of human cathepsin K protease activity. Thus, I are useful for the treatment of diseases mediated by cathepsin S activity, such as autoimmune disorders, disorders involving excessive elastolysis, systemic amyloidosis (no data).

IT **440127-27-5P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin S inhibitor; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

RN 440127-27-5 HCAPLUS

CN 4-Morpholinebutanamide, .alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-N-[1-[(5-ethyl-1,3,4-oxadiazol-2-yl)carbonyl]butyl]-.gamma.-oxo- (9CI) (CA INDEX NAME)



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CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

FILE 'USPAT2' ENTERED AT 15:41:32 ON 27 MAY 2003
CA INDEXING COPYRIGHT (C) 2003 AMERICAN CHEMICAL SOCIETY (ACS)

=> s l7
L15             0 L7

=> fil reg
FILE 'REGISTRY' ENTERED AT 15:47:40 ON 27 MAY 2003
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STRUCTURE FILE UPDATES:   26 MAY 2003  HIGHEST RN 520505-31-1
DICTIONARY FILE UPDATES:  26 MAY 2003  HIGHEST RN 520505-31-1

TSCA INFORMATION NOW CURRENT THROUGH JANUARY 6, 2003

  Please note that search-term pricing does apply when
  conducting SmartSELECT searches.

Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

=> d sta que l18
L16             STR
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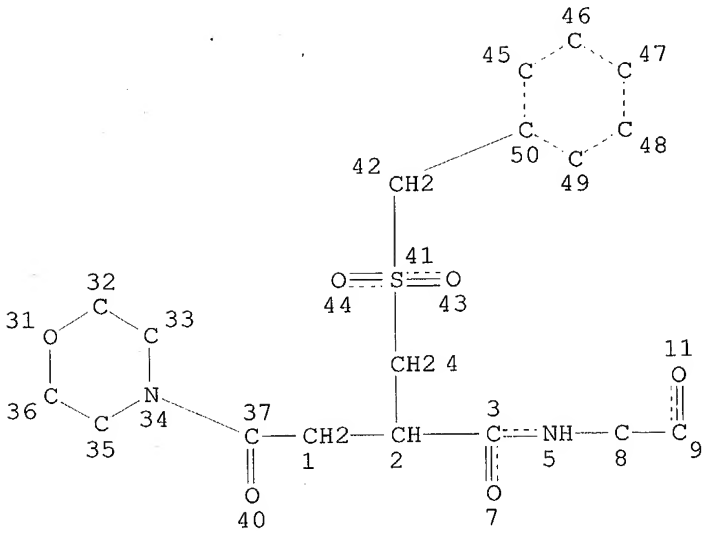

NODE ATTRIBUTES:
NSPEC IS RC AT 8
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L18 24 SEA FILE=REGISTRY SSS FUL L16

100.0% PROCESSED 51 ITERATIONS 24 ANSWERS
SEARCH TIME: 00.00.01

=> d his

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SET COST OFF

FILE 'HCAPLUS' ENTERED AT 15:25:37 ON 27 MAY 2003
E US2000-257603/AP,PRN
L1 1 S E5
E WO2001-US50680/AP,PRN
L2 1 S E3
L3 1 S L1,L2
SEL RN

FILE 'REGISTRY' ENTERED AT 15:26:58 ON 27 MAY 2003
L4 330 S E1-E330
L5 12 S L4 AND NC2OC2/ES AND N2COC/ES AND 46.150.18/RID
L6 4 S L5 AND 3/NR
L7 1 S L5 AND C26H34F2N4O8S
SEL RN
L8 0 S E331/CRN
L9 STR
L10 2 S L9
L11 STR L9

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L12           1 S L11
L13         105 S L4 AND NC2OC2/ES

     FILE 'REGISTRY' ENTERED AT 15:41:06 ON 27 MAY 2003

     FILE 'HCAPLUS' ENTERED AT 15:41:17 ON 27 MAY 2003
L14           1 S L7

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L15           0 S L7

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     FILE 'REGISTRY' ENTERED AT 15:45:58 ON 27 MAY 2003
L16             STR L11
L17           1 S L16
L18          24 S L16 FUL
                SAV L18 TEMP SHIA0035/A
L19          23 S L18 NOT L7

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L20           0 S L19

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L21           1 S L19

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L22           0 S L19

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=> fil hcaplus
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FILE COVERS 1907 - 27 May 2003  VOL 138 ISS 22
FILE LAST UPDATED: 26 May 2003  (20030526/ED)

 This file contains CAS Registry Numbers for easy and accurate
 substance identification.


=> d l21 all hitstr

L21  ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2003 ACS
AN   2002:504904  HCAPLUS
DN   137:78943
TI   Preparation of N-[1-(benzoxazolylcarbonyl)alkyl]- and N-[1-
     (oxadiazolylcarbonyl)alkyl]alkanamides and related compounds as selective
     cathepsin S inhibitors
```
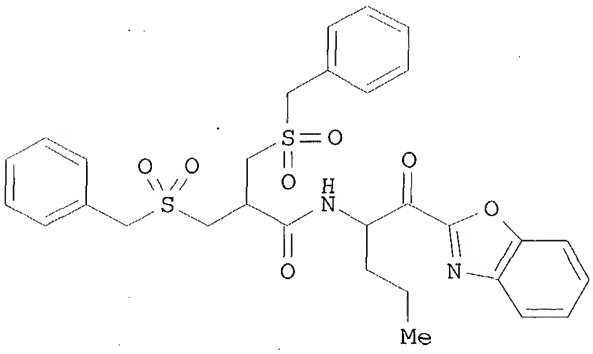

IN Halley, Frank; Graupe, Michael; Patterson, John; Pickett, Stephen D.; Link, John; Li, Jiayao; Aldous, David; Thurairatnam, Sukanthini; Timm, Andreas; Lai, Justine
PA Celera, An Applera Corporation Business, USA
SO PCT Int. Appl., 724 pp.
CODEN: PIXXD2
DT Patent
LA English
IC ICM C12N
CC 28-6 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002051983	A2	20020704	WO 2001-US50680	20011224

PI
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI US 2000-257603P P 20001222
OS MARPAT 137:78943
GI



II

AB Title compds. of the formula R3R4CHCONHX1 [I; wherein X1 = CR1R2X2 or X3; X2 = CN, CHO, or (un)substituted (cyclo)alkyl, (hetero)arylalkyl, carbamoylalkyl, aminoalkyl, alkoxyalkyl, sulfamoylalkyl, etc.; X3 = substituted (thi)oxopyrrolidinyl, (thi)oxopiperidinyl, (thi)oxotetrahydro(thio)pyranyl, (thi)oxotetrahydrofuranyl, (thi)oxotetrahydrothiophenyl, etc.; R1 and R2 are both F; or R1 = H or alkyl and R2 = H, alkyl, CN, or (un)substituted amino(alkyl), carbamoyl(alkyl), carboxyamino(alkyl), acyl(alkyl), carboxy(alkyl), sulfamoyl(alkyl), phosphono(alkyl), etc.; or CR1R2 = (un)substituted (hetero)cycloalkyl; R3 and R4 = independently CR16R17X7; R16 and R17 = independently H, alkyl, or F; or R16 = H and R17 = OH; X7 = (un)substituted amino(alkyl), carbamoyl(alkyl), carboxyamino(alkyl), acyl(alkyl), carboxy(alkyl), sulfamoyl(alkyl), etc.; and N-oxides, prodrugs, protected derivs., isomers, pharmaceutically acceptable salts, and solvates thereof] were prepd. for treatment of cathepsin S mediated diseases. For example, reaction of 3-benzylsulfanyl-2-benzylsulfanylmethylpropionic acid (prepn. given) with 2(S)-amino-1-(benzoxazol-2-yl)-1-pentanol in the presence of HOBt.bul.H2O

and EDC in CH2Cl2 afforded the amide. Oxidn. of the sulfide groups using Oxone (41%), followed by treatment with Dess-Martin periodinane (74%), gave the title (S)-N-[1-(benzoxazolylmethanoyl)butyl]propanamide (S)-II. I inhibited human cathepsin S protease activity (Ki = 0.1 .mu.M to 0.1 nM) at concns. that were at least 50-fold less than those required to produce an equiv. inhibition of human cathepsin K protease activity. Thus, I are useful for the treatment of diseases mediated by cathepsin S activity, such as autoimmune disorders, disorders involving excessive elastolysis, systemic amyloidosis (no data).

ST benzoxazolyl oxadiazolyl alkanamide prepn selective cathepsin S inhibitor

IT Organelle
(elastic fiber, excessive elastolysis, treatment; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT Autoimmune disease
Drugs
Human
Immunomodulators
(prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT Drug delivery systems
(prodrugs; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT Amyloidosis
(treatment; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 440126-41-0P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(cathepsin S inhibitor; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 440126-09-0P 440126-12-5P 440126-13-6P 440126-14-7P 440126-15-8P
440126-16-9P 440126-17-0P 440126-18-1P 440126-19-2P
440126-20-5P 440126-21-6P 440126-22-7P 440126-23-8P
440126-24-9P 440126-26-1P 440126-27-2P
440126-28-3P 440126-29-4P 440126-30-7P 440126-31-8P
440126-32-9P 440126-36-3P 440126-37-4P **440126-40-9P**
440126-42-1P 440126-43-2P 440126-44-3P, N-Cyanomethyl-4-phenylsulfanyl-2-(2-phenylsulfanylethyl)butyramide 440126-45-4P 440126-46-5P, 3-Benzylsulfanyl-2-benzylsulfanylmethyl-N-cyanomethylpropionamide
440126-47-6P 440126-48-7P 440126-49-8P 440126-50-1P 440126-51-2P
440126-52-3P 440126-53-4P 440126-54-5P 440126-55-6P 440126-56-7P
440126-57-8P 440126-58-9P 440126-59-0P 440126-60-3P
440126-61-4P 440126-62-5P 440126-63-6P **440126-64-7P**
440126-66-9P 440126-67-0P **440126-68-1P 440126-69-2P**
440126-71-6P 440126-74-9P **440126-77-2P** 440126-79-4P
440126-83-0P **440126-86-3P** 440126-88-5P 440126-90-9P
440126-92-1P 440126-94-3P 440126-96-5P **440126-98-7P**
440127-02-6P 440127-04-8P **440127-06-0P** 440127-10-6P
440127-13-9P 440127-15-1P 440127-17-3P 440127-19-5P
440127-21-9P 440127-23-1P 440127-24-2P 440127-25-3P
440127-26-4P 440127-27-5P **440127-28-6P** 440127-29-7P
440127-31-1P 440127-33-3P **440127-34-4P 440127-36-6P**
440127-39-9P 440127-41-3P 440127-42-4P 440127-43-5P
440127-44-6P 440127-47-9P 440127-48-0P 440127-49-1P 440127-50-4P
440127-51-5P 440127-52-6P 440127-53-7P 440127-54-8P 440127-55-9P
440127-56-0P 440127-57-1P 440127-58-2P 440127-59-3P 440127-60-6P
440127-61-7P 440127-62-8P 440127-63-9P 440127-64-0P 440127-65-1P

440127-66-2P 440127-67-3P 440127-69-5P 440127-76-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin S inhibitor; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 81079-76-7P, 3-Benzylsulfanyl-2-benzylsulfanylmethylpropionic acid ethyl ester 81079-79-0P 91142-71-1P 153371-25-6P 440125-03-1P, 2,2-Bis(methylsulfonyloxymethyl)malonic acid diethyl ester 440125-04-2P 440125-06-4P, 2-Benzylsulfanylmethyl-3-cyclohexylpropionic acid 440125-07-5P 440125-08-6P 440125-09-7P 440125-10-0P, 2,2-Bis(2-phenylsulfanylethyl)malonic acid diethyl ester 440125-11-1P, 2,2-Bis(2-phenylsulfanylethyl)malonic acid 440125-12-2P, 4-Phenylsulfanyl-2-(2-phenylsulfanylethyl)butyric acid 440125-13-3P 440125-14-4P, (S)-4-Amino-2,2-difluoro-3-hydroxyhexanoic acid dimethylamide 440125-15-5P 440125-17-7P 440125-18-8P 440125-19-9P 440125-20-2P 440127-75-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of (benzoxazolylcarbonylalkyl)- and (oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 273-97-2P, Oxazolo[4,5-b]pyridine 825-56-9P, 2-Phenyl-1,3,4-oxadiazole 3275-37-4P 64001-70-3P, 2-(Pyridin-4-yl)-1,3,4-oxadiazole 87974-75-2P 109608-77-7P 121533-11-7P 150736-72-4P, (S)-2-Bocamino-1-butanol 150989-62-1P, 2,3,4,7-Tetrahydroazepine-1-carboxylic acid benzyl ester 160801-72-9P 190141-99-2P, 3-Amino-4-hydroxypyrrolidine-1-carboxylic acid tert-butyl ester 281219-32-7P, Allylpent-4-enylcarbamic acid benzyl ester 281219-33-8P, 4-Azido-3-hydroxyazepane-1-carboxylic acid benzyl ester 346690-97-9P, (1-Formylpropyl)carbamic acid tert-butyl ester 349671-19-8P 440125-21-3P 440125-22-4P 440125-23-5P, (S)-2-Amino-1-(3-phenyl[1,2,4]oxadiazol-5-yl)butan-1-one 440125-25-7P 440125-26-8P, 2-Amino-1-(2-phenyl[1,3]dithian-2-yl)hexan-1-ol hydrochloride 440125-27-9P, 2-Bocamino-2-methyl-1-(oxazolo[4,5-b]pyridin-2-yl)-1-propanol 440125-29-1P 440125-30-4P, 2-Methoxymethyl[1,3,4]oxadiazole 440125-31-5P 440125-33-7P 440125-34-8P, 2-(2-Bocamino-1-hydroxybutyl)-5-phenyl-1,3,4-oxadiazole 440125-36-0P 440125-37-1P, 2-Bocamino-1-(oxazolo[4,5-b]pyridin-2-yl)-1-butanol 440125-39-3P 440125-40-6P 440125-42-8P 440125-43-9P 440125-45-1P 440125-47-3P 440125-48-4P, [1-(Benzoxazol-2-ylhydroxymethyl)propyl]carbamic acid tert-butyl ester 440125-50-8P 440125-51-9P, 4-Benzyl-3-(4-cyclohexylbutyryl)oxazolidin-2-one 440125-52-0P, 1-(4-Benzyl-2-oxooxazolidin-3-yl)-2-(2-cyclohexylethyl)-4-morpholin-4-ylbutane-1,4-dione 440125-53-1P, (2R)-1-((4S)-4-Benzyl-2-oxooxazolidin-3-yl)-2-cyclohexylmethyl-4-morpholin-4-ylbutane-1,4-dione 440125-54-2P 440125-55-3P 440125-56-4P 440125-57-5P 440125-58-6P 440125-59-7P 440125-60-0P 440125-61-1P 440125-62-2P, 2-Amino-1-(5-phenyl[1,2,4]oxadiazol-3-yl)butan-1-ol 440125-63-3P 440125-64-4P 440125-65-5P 440125-66-6P 440125-67-7P 440125-68-8P 440125-69-9P, 3-Ethylsulfanyl-2-(tetrahydropyran-4-yloxymethyl)propionic acid ethyl ester 440125-70-2P 440125-71-3P 440125-72-4P 440125-73-5P 440125-74-6P 440125-75-7P 440125-76-8P 440125-77-9P 440125-78-0P 440125-79-1P 440125-80-4P 440125-81-5P 440125-82-6P 440125-83-7P 440125-84-8P 440125-85-9P 440125-86-0P 440125-88-2P, [1-(Benzoxazole-2-carbonyl)propyl]carbamic acid tert-butyl ester 440125-89-3P, 2-Amino-1-benzoxazol-2-ylbutan-1-one hydrochloride 440125-90-6P 440125-91-7P 440125-92-8P 440125-93-9P 440125-94-0P, (S)-2-Amino-2-methylpentan-1-ol 440125-95-1P 440125-96-2P 440125-97-3P 440125-98-4P 440125-99-5P, (S)-2-Amino-2-methyl-1-(oxazol-2-yl)pentan-1-one 440126-00-1P 440126-01-2P 440126-02-3P 440126-03-4P, 2-Amino-1-benzoxazol-2-yl-2-methylpentan-1-one 440126-04-5P, 2-Amino-1-benzoxazol-2-yl-2-methyl-4-phenylbutan-1-one

440126-05-6P, 2-Amino-1-benzoxazol-2-yl-2-methylbutan-1-one 440126-06-7P
440126-07-8P 440126-08-9P 440126-10-3P 440126-11-4P 440126-35-2P
440126-38-5P 440126-39-6P 440126-65-8P 440126-73-8P 440126-76-1P
440126-78-3P 440126-82-9P 440126-85-2P 440126-87-4P 440126-89-6P
440126-91-0P 440126-93-2P 440126-95-4P 440126-97-6P 440127-01-5P
440127-03-7P 440127-05-9P 440127-09-3P 440127-12-8P 440127-14-0P
440127-16-2P 440127-18-4P 440127-20-8P 440127-22-0P 440127-30-0P
440127-38-8P 440127-46-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 71965-46-3, Cathepsin S 94716-09-3, Cathepsin K
RL: BSU (Biological study, unclassified); BIOL (Biological study)
(prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT 100-53-8, Benzylmercaptan 105-53-3, Diethyl malonate 109-02-4, 4-Methylmorpholine 667-27-6, Ethyl bromodifluoroacetate 823-78-9, 3-Bromobenzyl bromide 1197-22-4 2170-03-8, 3-Methylenedihydrofuran-2,5-dione 20605-01-0, Diethyl bis(hydroxymethyl)malonate 26039-98-5, 2-Trifluoromethylbenzylmercaptan 29805-59-2, Diethyl [2-cyclohexylmethyl]malonate 72707-66-5, 2-Bromomethylacrylic acid 139617-91-7, 2-Iodoethyl phenyl sulfide 440125-05-3 440125-16-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of (benzoxazolylcarbonylalkyl)- and (oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

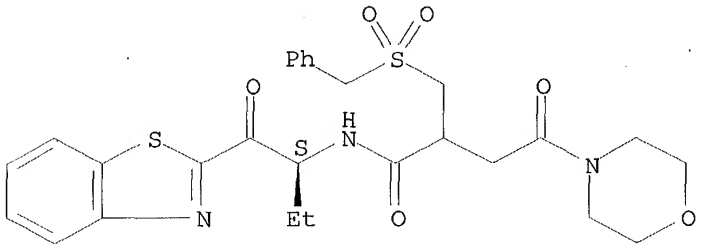
IT 54-85-3, Isonicotinic hydrazide 78-77-3, 1-Bromo-2-methylpropane 98-80-6, Phenylboronic acid 104-54-1, Cinnamyl alcohol 109-01-3, 1-Methylpiperazine 124-68-5, 2-Amino-2-methyl-1-propanol 273-53-0, Benzoxazole 288-42-6, Oxazole 555-96-4, Benzylhydrazide 617-35-6, Ethyl pyruvate 1068-55-9, Isopropyl magnesium chloride 1119-51-3, 5-Bromo-1-pentene 2081-44-9, 4-Hydroxy tetrahydropyran 2234-82-4, Propylmagnesium chloride 4392-24-9, Cinnamyl bromide 4441-67-2, 4-Cyclohexylbutyryl chloride 5041-33-8 5425-44-5, 2-Phenyl-1,3-dithiane 5856-62-2, (S)-(+)-2-Amino-1-butanol 6290-49-9, Methyl methoxyacetate 7051-34-5, Bromomethylcyclopropane 16867-03-1, 2-Amino-3-hydroxypyridine 17435-72-2, Ethyl 2-(bromomethyl)acrylate 20989-17-7, S-(+)-Phenylglycinol 28188-41-2, 3-Cyanobenzyl bromide 34306-42-8 39098-75-4, 3-Cyclohexylpropionyl chloride 40299-87-4, 2-Bromo-1-morpholin-4-ylethanone 59025-03-5 65943-95-5, 3-[1,3,4]Oxadiazol-2-ylpyridine 85684-64-6, 2-(Difluoromethoxy)benzyl bromide 90719-32-7, (S)-(-)-4-Benzyl-2-oxazolidinone 114214-49-2, 6-Oxa-3-azabicyclo[3.1.0]hexane-3-carboxylic acid tert-butyl ester 166196-01-6, (2-Cyano-1-ethyl-2-hydroxyethyl)carbamic acid tert-butyl ester 281219-28-1, 4-Amino-3-hydroxyazepane-1-carboxylic acid tert-butyl ester 294871-39-9 294885-23-7 294885-26-0, 2-Amino-1-benzoxazol-2-yl-3-methoxypropan-1-ol 324795-39-3 440125-24-6, (1-Formylpentyl)carbamic acid tert-butyl ester 440125-49-5, 1-(4-Benzyl-2-oxooxazolidin-3-yl)-2-cyclohexylmethyl-4-morpholin-4-ylbutane-1,4-dione 440125-87-1, 2-Amino-1-benzoxazol-2-ylbutan-1-ol 440126-25-0 440126-34-1 440126-70-5 440126-72-7 440126-75-0 440126-81-8 440126-84-1 440127-00-4 440127-08-2 440127-11-7 440127-32-2 440127-35-5 440127-37-7 440127-40-2 440127-45-7, 2-Amino-1-benzoxazol-2-ylpentan-1-ol 440127-68-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

IT **440126-16-9P 440126-24-9P 440126-26-1P 440126-27-2P 440126-28-3P 440126-40-9P**

440126-57-8P 440126-64-7P 440126-68-1P
440126-69-2P 440126-77-2P 440126-86-3P
440126-92-1P 440126-98-7P 440127-06-0P
440127-21-9P 440127-26-4P 440127-28-6P
440127-34-4P 440127-36-6P 440127-39-9P
440127-41-3P 440127-66-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(cathepsin S inhibitor; prepn. of N-(benzoxazolylcarbonylalkyl)- and N-(oxadiazolylcarbonylalkyl)alkanamides and related compds. as selective cathepsin S inhibitors)

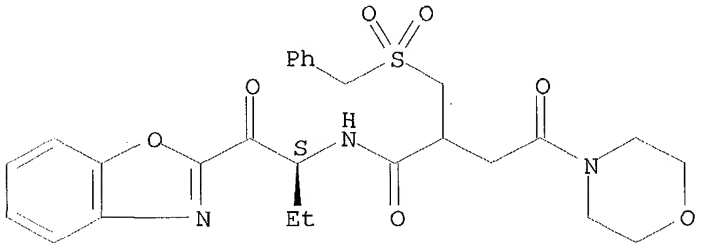
RN 440126-16-9 HCAPLUS
CN 4-Morpholinebutanamide, N-[(1S)-1-(2-benzothiazolylcarbonyl)propyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



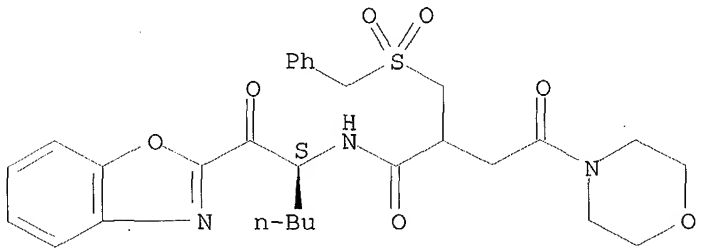
RN 440126-24-9 HCAPLUS
CN 4-Morpholinebutanamide, N-[(1S)-1-(2-benzoxazolylcarbonyl)propyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



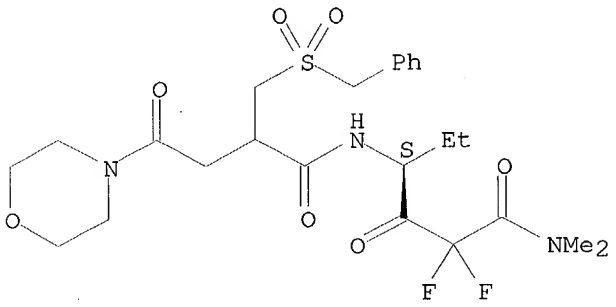
RN 440126-26-1 HCAPLUS
CN 4-Morpholinebutanamide, N-[(1S)-1-(2-benzoxazolylcarbonyl)pentyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



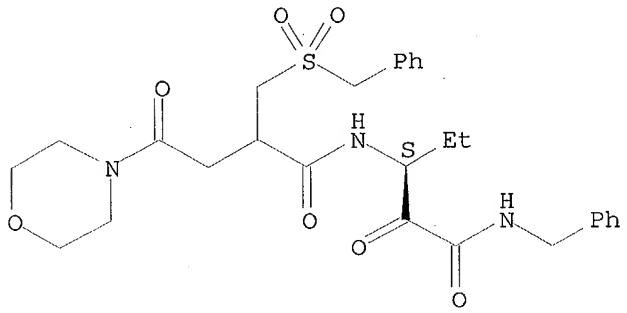
RN 440126-27-2 HCAPLUS
CN 4-Morpholinebutanamide, N-[(1S)-4-(dimethylamino)-1-ethyl-3,3-difluoro-2,4-dioxobutyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



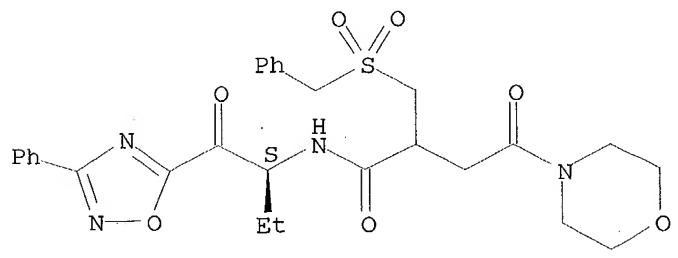
RN 440126-28-3 HCAPLUS
CN 4-Morpholinebutanamide, N-[(1S)-1-ethyl-2,3-dioxo-3-[(phenylmethyl)amino]propyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



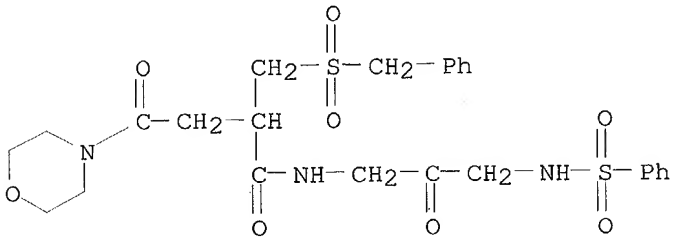
RN 440126-40-9 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-N-[(1S)-1-[(3-phenyl-1,2,4-oxadiazol-5-yl)carbonyl]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

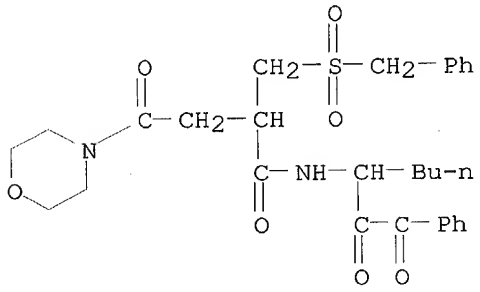


RN 440126-57-8 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-N-[2-oxo-3-

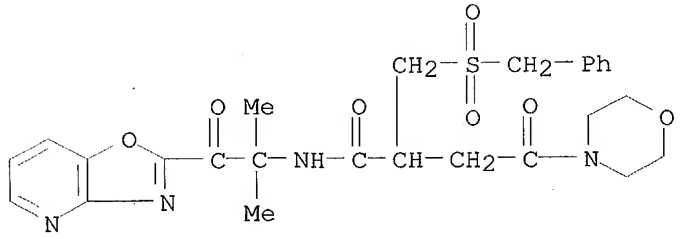
[(phenylsulfonyl)amino]propyl]-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-
(9CI) (CA INDEX NAME)



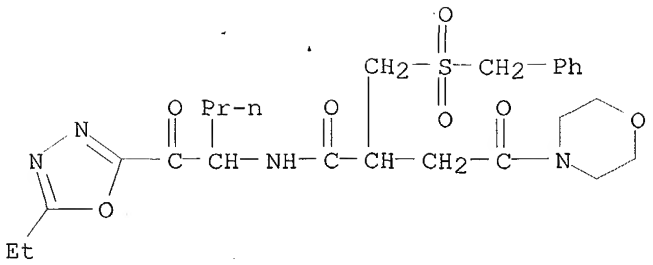
RN 440126-64-7 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-N-[1-(oxophenylacetyl)pentyl]-.alpha.-
[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



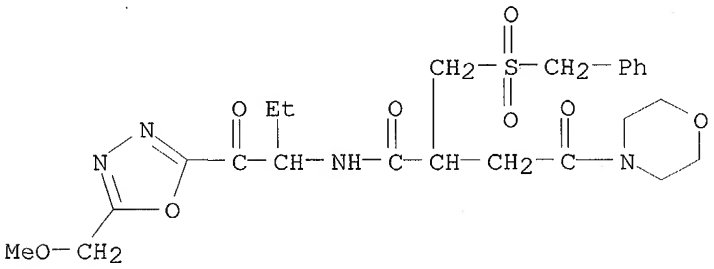
RN 440126-68-1 HCAPLUS
CN 4-Morpholinebutanamide, N-(1,1-dimethyl-2-oxazolo[4,5-b]pyridin-2-yl-2-
oxoethyl)-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA
INDEX NAME)



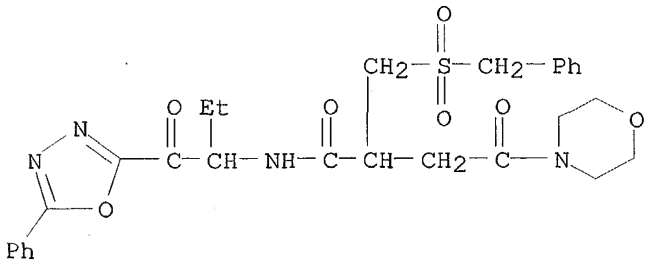
RN 440126-69-2 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-[(5-ethyl-1,3,4-oxadiazol-2-
yl)carbonyl]butyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-
(9CI) (CA INDEX NAME)



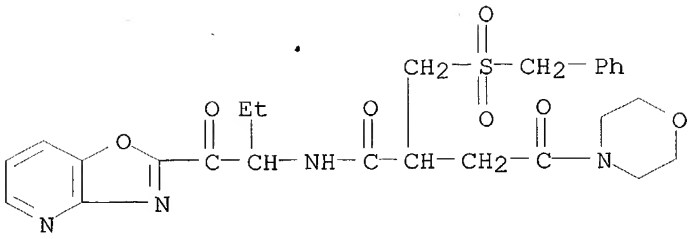
RN 440126-77-2 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-[[5-(methoxymethyl)-1,3,4-oxadiazol-2-yl]carbonyl]propyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



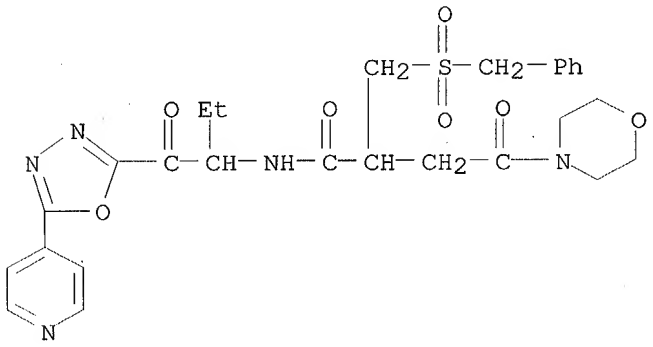
RN 440126-86-3 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-N-[1-[(5-phenyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]- (9CI) (CA INDEX NAME)



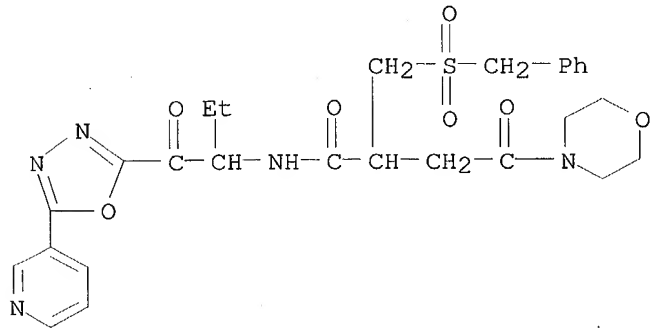
RN 440126-92-1 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-(oxazolo[4,5-b]pyridin-2-ylcarbonyl)propyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



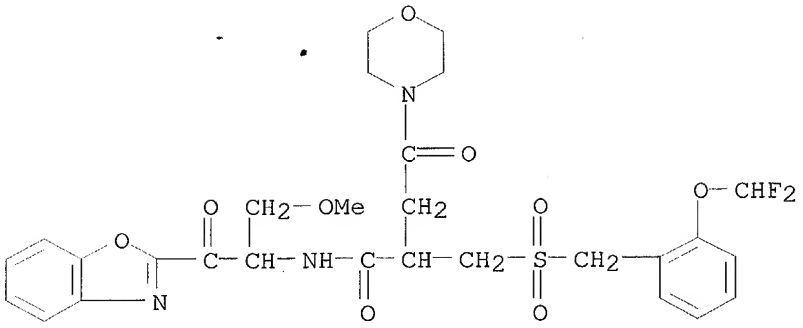
RN 440126-98-7 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-N-[1-[[5-(4-pyridinyl)-1,3,4-oxadiazol-2-yl]carbonyl]propyl]- (9CI) (CA INDEX NAME)



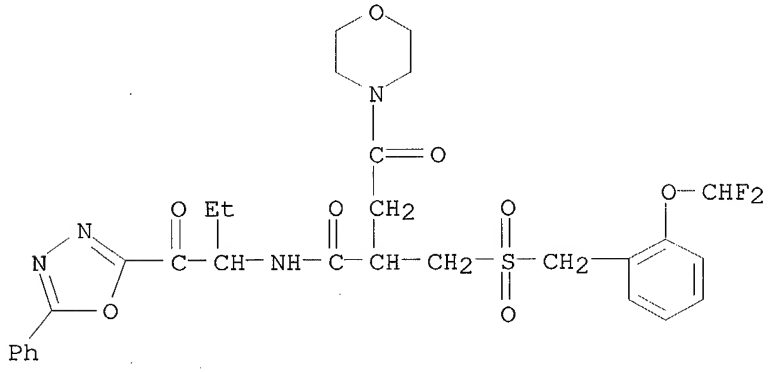
RN 440127-06-0 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-N-[1-[[5-(3-pyridinyl)-1,3,4-oxadiazol-2-yl]carbonyl]propyl]- (9CI) (CA INDEX NAME)



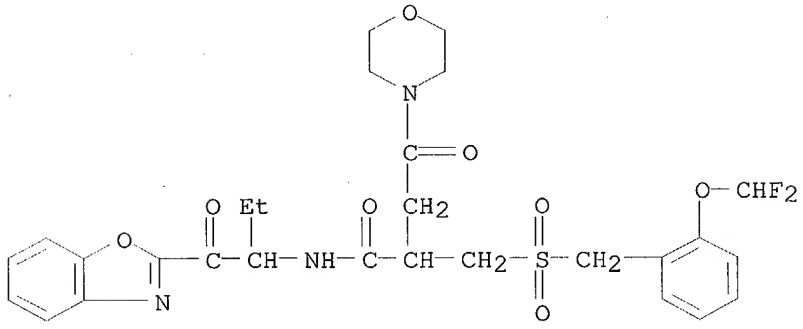
RN 440127-21-9 HCAPLUS
CN 4-Morpholinebutanamide, N-[2-(2-benzoxazolyl)-1-(methoxymethyl)-2-oxoethyl]-.alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-.gamma.-oxo- (9CI) (CA INDEX NAME)



RN 440127-26-4 HCAPLUS
CN 4-Morpholinebutanamide, .alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-.gamma.-oxo-N-[1-[(5-phenyl-1,3,4-oxadiazol-2-yl)carbonyl]propyl]- (9CI) (CA INDEX NAME)

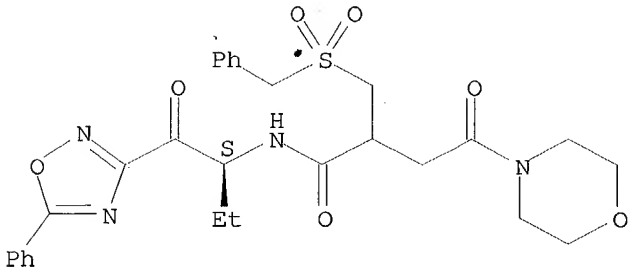


RN 440127-28-6 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-(2-benzoxazolylcarbonyl)propyl]-.alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-.gamma.-oxo- (9CI) (CA INDEX NAME)

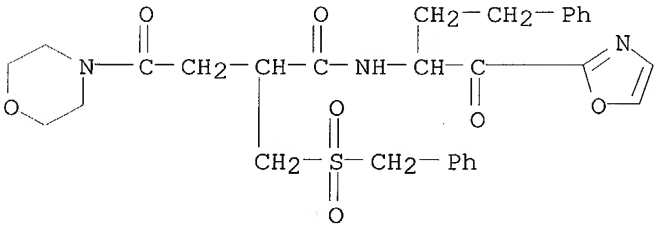


RN 440127-34-4 HCAPLUS
CN 4-Morpholinebutanamide, .gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]-N-[(1S)-1-[(5-phenyl-1,2,4-oxadiazol-3-yl)carbonyl]propyl]- (9CI) (CA INDEX NAME)

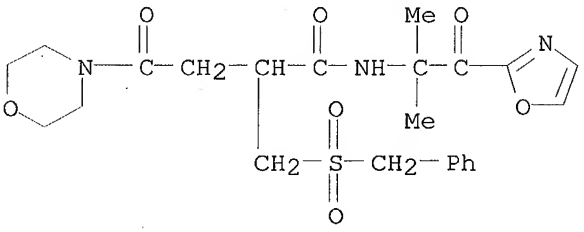
Absolute stereochemistry.



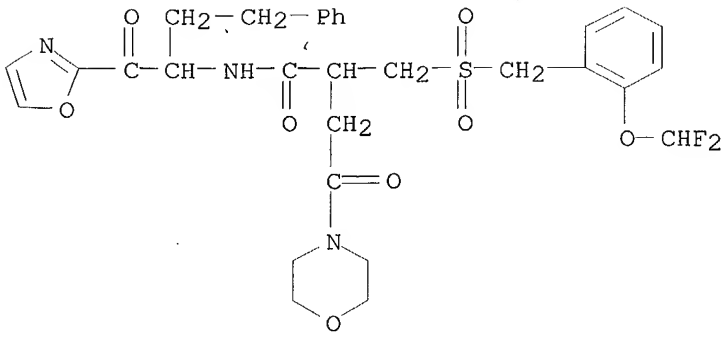
RN 440127-36-6 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-(2-oxazolylcarbonyl)-3-phenylpropyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



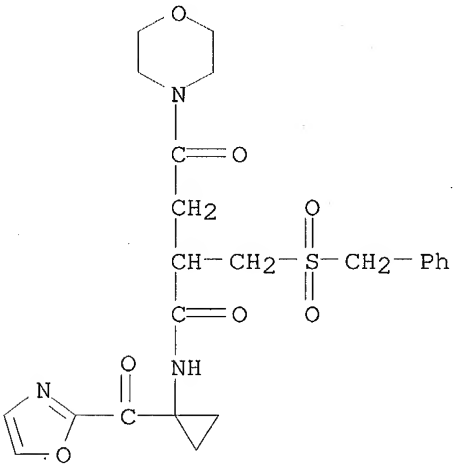
RN 440127-39-9 HCAPLUS
CN 4-Morpholinebutanamide, N-[1,1-dimethyl-2-(2-oxazolyl)-2-oxoethyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



RN 440127-41-3 HCAPLUS
CN 4-Morpholinebutanamide, .alpha.-[[[[2-(difluoromethoxy)phenyl]methyl]sulfonyl]methyl]-N-[1-(2-oxazolylcarbonyl)-3-phenylpropyl]-.gamma.-oxo- (9CI) (CA INDEX NAME)



RN 440127-66-2 HCAPLUS
CN 4-Morpholinebutanamide, N-[1-(2-oxazolylcarbonyl)cyclopropyl]-.gamma.-oxo-.alpha.-[[(phenylmethyl)sulfonyl]methyl]- (9CI) (CA INDEX NAME)



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SEL RN

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